All communications respecting this case should identify it by number and names of parties.

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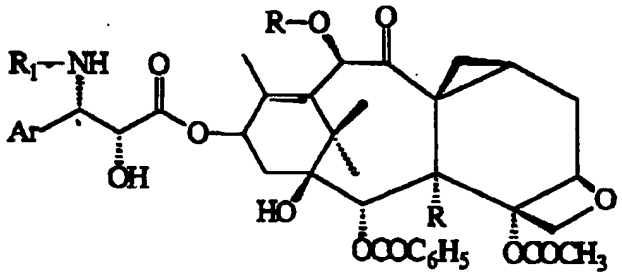
Telephone: (703) 308-9797
Facsimile: (703) 308-7953

Applicants: Bouchard et al.
Serial No.: 08/162,984
Filed: December 8, 1993
For: NEW TAXOIDS, THEIR PREPARATION AND PHARMACEUTICAL COMPOSITION CONTAINING THEM
Accorded benefit: France 92 14813, filed December 9, 1992

Pursuant to the APJ's decision on motion in Interference No. 103,675, this interference is hereby redeclared by deleting count 1 and substituting count 4 for count 1. Count 4 reads as follows:

[Bouchard] **A taxoid of the formula:**



in which

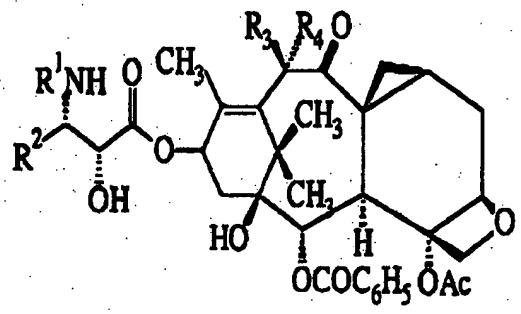
R represents hydrogen or acetyl,

R_1 represents benzoyl or R_2-O-CO- in which R_2 represents t-butyl, and

Ar represents phenyl or α- or β-naphthyl, said phenyl or naphthyl being unsubstituted or substituted by C_{1-4} alkyl, C_{1-4} alkoxy, halogen, or CF_3, or Ar represents 2- or 3-thienyl or 2- or 3-furyl, said thienyl or furyl being unsubstituted or substituted by halogen,

OR

[Chen] A compound of the formula



in which

R^1 or -COR^x in which R^x is t-butyloxy, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, or phenyl, optionally substituted with one to three same or different C_{1-6} alkyl, C_{1-6} alkoxy, halogen or -CF_3 groups;

R^2 is C_{1-6} alkyl, C_{1-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl, or a radical of the formula -W-R^x in which W is a bond, C_{2-6} alkenediyl, or -$(CH_2)_t$-, in which t is one to six; and R^x is naphthyl, furyl, thienyl or phenyl, and furthermore R^x can be optionally substituted with one to three same or different C_{1-6} alkyl, C_{1-6} alkoxy, halogen or -CF_3 groups; and

R^3 is OCOR, -OCOOR, H, OH; R^4 is hydrogen; or R^3 and R^4 jointly form a carbonyl group; and R is C_{1-6} alkyl.

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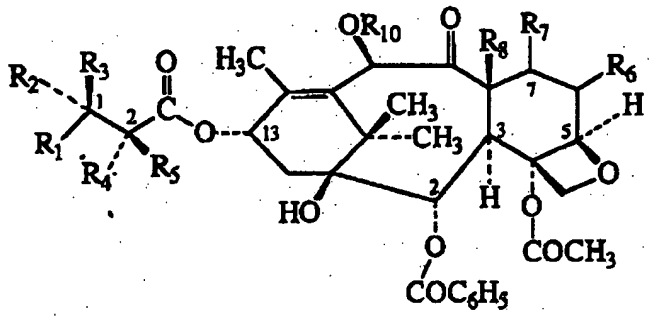
[Hester] A compound of the Formula 1:



I

wherein

R_1 is selected from the group consisting of

$-CH_3$,

$-C_6H_6$ or phenyl substituted with one, 2 or 3 C_1-C_4 alkyl, C_1-C_3 alkoxy, halo, C_1-C_3 alkylthio, trifluoromethyl, C_2-C_6 dialkylamino, hydroxy or nitro, and

-2-furyl, 2-thienyl, 1-naphthyl, 2-naphthyl or 3,4-methylenedioxyphenyl;

R_2 is selected from the group consisting of -H, -NHC(O)H, -NHC(O)C_1-C_{10} alkyl, -NHC(O)phenyl, -NHC(O)phenyl substituted with one, 2 or 3 C_1-C_4 alkyl, C_1-C_3 alkoxy, halo, C_1-C_3 alkylthio, trifluoromethyl, C_2-C_6 dialkylamino, hydroxy or nitro, $-NHC(O)C(CH_3)=CHCH_3$, $-NHC(O)OC(CH_3)_3$, $-NHC(O)OCH_2$phenyl, $-NH_2$, $-NHSO_2$-4-methylphenyl, $-NHC(O)(CH_2)_3COOH$, -NHC(O)-4-(SO_3H)phenyl, -OH, -NHC(O)-1-adamantyl, -NHC(O)O-3-tetrahydrofuranyl, -NHC(O)O-4-tetrahydropyranyl $-NHC(O)CH_2C(CH_3)_3$, $-NHC(O)C(CH_3)_3$, -NHC(O)OC_1-C_{10} alkyl, -NHC(O)NHC_1-C_{10} alkyl, -NHC(O)NHPh,

-NHC(O)NHPh substituted with one, 2 or 3 C_1-C_4 alkyl, C_1-C_3 alkoxy, halo, C_1-C_3 alkylthio, trifluoromethyl, C_2-C_6 dialkylamino, or nitro, -NHC(O)C_3-C_8 cycloalkyl, -NHC(O)$C(CH_2CH_3)_2CH_3$,-NHC(O)$C(CH_3)_2CH_2C1$, -NHC(O)$C(CH_3)_2CH_2CH_3$, phthalimido, -NHC(O)-1-phenyl-1-cyclopentyl, -NHC(O)-1-methyl-1-cyclohexyl, -NHC(S)$NHC(CH_3)_3$, and -NHC(O)$NHC(CH_3)_3$;

R_3 is selected from the group consisting of -H, NHC(O)phenyl and -NHC(O)$OC(CH_3)_3$, with the overall proviso that one or R_2 and R_3 is -H but R_2 and R_3 are not not both -H;

R_4 is -H or selected from the group consisting of -OH, -OAc(-OC(O)CH_3), -OC(O)$OCH_2C(C1)_3$, -$OCOCH_2CH_2NH3^+$ HCOO; -NHC(O)phenyl,-NHC(O)$OC(CH_3)_3$, -$OCOCH_2$-CH_2COOH and pharmaceutically acceptable salts thereof, -$OCO(CH_2)_3COOH$ and pharmaceutically acceptable salts thereof and -OC(O)-Z-C(O)-R {where Z is ethylene (-CH_2CH_2-), propylene (-$CH_2CH_2CH_2$-), -CH=CH-, 1,2-cyclohexane or 1,2-phenylene, R' is -OH, -OH base, -$NR_2'R_3'$,-OR_3',-SR_3',-$OCH_2C(O)NR_4'$ R_5' where R_2' is-H or -CH_3, R_3', R_3' is $(CH_2)_nNR'_6R_7'$ or $(CH_2)_nN^+R_6'R_7'R_8'X$- where n is 1-3, R_4' is -H or C_1-C_4 alkyl, R_5' is -H, -C_1-C_4 alkyl, benzyl, hydroxethyl, -CH_2CO_2H is dimethylaminoethyl, R_6' and R_7' are CH_3, -CH_2CH_3, benzyl or R_6' and R_7' together with the nitrogen of $NR_6'R_7'$ form a pyrrolidino, piperidino, morpholino, or N-methylpiperizino group; R_8' is -CH_3, -CH_2CH_3 or benzyl, X^- is halide, and base is NH_3, $(HOC_2H_4)_3N$,$N(CH_3)_3$, $CH_3N(C_2H_4)_2NH$, $NH_2(CH_2)_6NH_2$, N-methylglucamine, NaOH or KOH)}, -OC(O)$(CH_2)_NNR^2R^3$ {where n is 1-3, R^2 is -H or -C_1-C_3 alkyl and or R^3 is -H or C_1-C_3 alkyl, -OC(O)CH(R''NH_2 {where R''is selected from the group consisting of -H, -CH_3, -CH_2,$CH(CH_3)_2$, -$CH(CH_3)CH_2CH_3$, -$CH(CH_3)_2$, -CH_2 phenyl, -$(CH_2)_4NH_2$, -CH_2CH_2COOH,-$(CH_2)_3NHC(=NH)NH_2$}, the residue of the amino acid proline, -OC(O)CH=CH_2, -C(O)$CH_2CH_2C(O)NHCH_2CH_2SO_3Y^+$, -OC(O)$CH_2CH_2C(O)NHCH_2CH_2$ $CH_2SO_3^-Y^+$ wherein Y^+ is Na^+ or $N^+(Bu)_4$, and -OC(O)$CH_2CH_2C(O)OCH_2CH_2OH$;

R_5 is -H or -OH, with the overall proviso that when R_5 is -OH, R_4 is -H and with the further proviso that when R_5 is -H, R_4 is other than H;

R_6 is -H:-H;

R_7 is α-H:β-R_{74};

R_{74} and R_8 are taken together to form a cyclopropyl ring; and

R_{10} is -H or -C(O)CH_3; or

the pharmaceutically acceptable salt thereof when the compound contains either an acidic or basic functional group.

Mary F. Downey
Administrative Patent Judge
(703) 308-9797